

## **ABSTRACT OF THE DISCLOSURE**

Novel inhibitors of polyamine transport having inhibition constants two orders of magnitude lower than those of known compounds are disclosed. These polyamine analogues are useful pharmaceutical agents for treating diseases where it is desired to inhibit polyamine transport or other polyamine binding proteins, for example cancer and post-angioplasty injury. Novel chemical synthetic methods to obtain polyamine analogues are disclosed, including the production of a combinatorial polyamine library. These approaches yield analogues with desirable activities both for diagnostic and research assays and therapy. The assays of the invention are useful for high throughput screening of targets in the discovery of drugs that interact with the polyamine system.